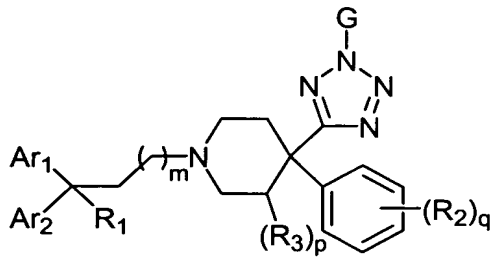
What is claimed is:

1. A compound of formula (Ia):



(Ia)

or a pharmaceutically acceptable salt thereof, wherein:

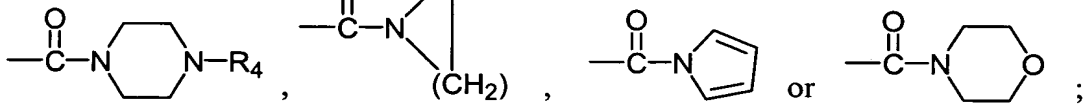
Ar_1 is -C_3-C_8 cycloalkyl, phenyl, naphthyl, anthryl, phenanthryl or -(5- to 7-membered) heteroaryl, each being unsubstituted or substituted with one or more R_2 groups;

Ar_2 is phenyl, naphthyl, anthryl, phenanthryl or -(5- to 7-membered) heteroaryl, each being unsubstituted or substituted with one or more R_2 groups;

G is -H, -L-$(CH_2)_nCO_2R_4$, -L-$(CH_2)_nR_5$, -(C_1-C_5 alkylene)CO_2R_4, or (C_1-C_5 alkylene)R_5;

L = -C(O)- , -SO_2- or -SO- ;

R_1 = H, -C(O)NH_2, -C(O)NHOH, -CO_2R_4, -CHO, -CN, -(C_1-C_4 alkyl), -C(O)NH(C_1-C_4 alkyl), -C(O)N(C_1-C_4 alkyl)$_2$,



;

R_2 and R_3 are each independently -halogen, -C_1-C_3 alkyl, -O(C_1-C_3 alkyl), -NH(C_1-C_3 alkyl) or -N(C_1-C_3 alkyl)$_2$;

R_4 = -H, -C_1-C_{10} alkyl, -CH_2O(C_1-C_4 alkyl), -CH_2N(C_1-C_4 alkyl)$_2$, or -CH_2NH(C_1-C_4 alkyl);

R_5 = -NH_2, -$NHSO_2R_4$, -C(O)NH_2, -C(O)NHOH, -SO_2NH_2, -C(O)NH(C_1-C_4 alkyl), -C(O)N(C_1-C_4 alkyl)$_2$, -SO_2NH(C_1-C_4 alkyl), -SO_2N(C_1-C_4 alkyl)$_2$, -H, -OH, -CN, -C_3-C_8 cycloalkyl, phenyl, naphthyl, anthryl, phenanthryl, or -(5- to 7-membered) heteroaryl, each being unsubstituted or substituted with one or more R_2 groups ;

m = an integer ranging from 0 to 4;

n = an integer ranging from 1 to 4;

p = 0 or 1;

q = an integer ranging from 0 to 3; and

r = an integer ranging from 1 to 6.

2. The compound or pharmaceutically acceptable salt of the compound of claim 1, wherein Ar_1 and Ar_2 are phenyl.

3. The compound or pharmaceutically acceptable salt of the compound of claim 1, wherein m = 1 and G = H.

4. The compound or pharmaceutically acceptable salt of the compound of claim 1, wherein R_1 is $-C(O)NH_2$, $-C(O)NH(C_1\text{-}C_4$ alkyl) or $-C(O)N(C_1\text{-}C_4$ alkyl)($C_1\text{-}C_4$ alkyl).

5. The compound or pharmaceutically acceptable salt of the compound of claim 1, wherein R_1 is -CN.

6. The compound or pharmaceutically acceptable salt of the compound of claim 1, wherein p = 0 and q = 0.

7. The compound or pharmaceutically acceptable salt of the compound of claim 1, wherein G = $-(CH_2)_2NHSO_2H$.

8. The compound or pharmaceutically acceptable salt of the compound of claim 1, wherein G = $-CH_2C(O)NH_2$, $-CH_2C(O)NH(C_1\text{-}C_4$ alkyl) or $-CH_2C(O)N(C_1\text{-}C_4$ alkyl)($C_1\text{-}C_4$ alkyl).

9. The compound or pharmaceutically acceptable salt of the compound of claim 1, wherein G = $-(CH_2)_2C(O)OCH_2CH_3$.

10. The compound or pharmaceutically acceptable salt of the compound of claim 1, wherein G = $-(CH_2)_4C(O)OCH_2CH_3$.

11. The compound or pharmaceutically acceptable salt of the compound of claim 1, wherein p = 1.

12. A composition comprising an effective amount of a compound or a pharmaceutically acceptable salt of the compound of claim 1 and a pharmaceutically acceptable carrier or excipient.

13. The composition of claim 12, further comprising an opioid analgesic.

14. The composition of claim 12, further comprising a non-opioid analgesic.

15. The composition of claim 12, further comprising an anti-emetic agent.

16. A method for treating pain in an animal, comprising administering to an animal in need thereof an effective amount of a compound or a pharmaceutically acceptable salt of the compound of claim 1.

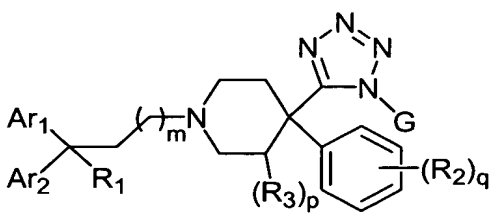
17. The method of claim 16, further comprising administering an effective amount of an opioid analgesic.

18. The method of claim 16, further comprising administering an effective amount of a non-opioid analgesic.

19. The method of claim 16, further comprising administering an effective amount of an anti-emetic agent.

20. A method for stimulating opioid-receptor function in a cell, comprising contacting a cell capable of expressing an opioid receptor with an effective amount of a compound or a pharmaceutically acceptable salt of the compound of claim 1.

21. A compound of formula (Ib):



(Ib)

or a pharmaceutically acceptable salt thereof, wherein:

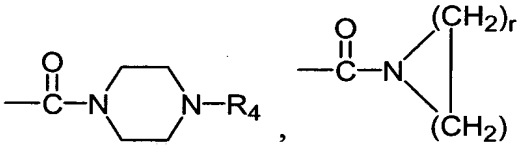
Ar_1 is -C_3-C_8 cycloalkyl, phenyl, naphthyl, anthryl, phenanthryl, or -(5- to 7-membered) heteroaryl, each being unsubstituted or substituted with one or more R_2 groups;

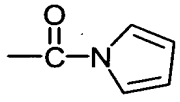
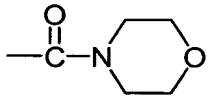
Ar_2 is phenyl, naphthyl, anthryl, phenanthryl, or -(5- to 7-membered) heteroaryl, each being unsubstituted or substituted with one or more R_2 groups;

G = H, $-L(CH_2)_nC(O)OR_4$, $-L(CH_2)_nR_5$, (C_1-C_5 alkylene)$COOR_4$, or -(C_1-C_5 alkylene)R_5 ;

L = -C(O)- , $-SO_2$- , or -SO- ;

R_1 = -H, $-C(O)NH_2$, -C(O)NHOH, $-CO_2R_4$, -CHO, -CN, -(C_1-C_4 alkyl), -C(O)NH(C_1-C_4 alkyl), -C(O)N(C_1-C_4 alkyl)$_2$,




or

;

R_2 and R_3 are each independently halogen, -C_1-C_3 alkyl, -O(C_1-C_3 alkyl), -NH(C_1-C_3 alkyl), or -N(C_1-C_3 alkyl)$_2$;

R_4 = -H, -C_1-C_{10} alkyl, $-CH_2O$(C_1-C_4 alkyl), $-CH_2N$(C_1-C_4 alkyl)$_2$, or $-CH_2NH$(C_1-C_4 alkyl);

R_5 = $-NH_2$, $-NHSO_2R_4$, -C(O)NH_2, -C(O)NHOH, - SO_2NH_2, -C(O)NH(C_1-C_4 alkyl), -C(O)N(C_1-C_4 alkyl)$_2$, $-SO_2NH$(C_1-C_4 alkyl), $-SO_2N$(C_1-C_4 alkyl)$_2$, -H, -OH, -CN, -C_3-C_8 cycloalkyl, phenyl, naphthyl, anthryl, phenanthryl, or -(5- to 7-membered) heteroaryl, each being unsubstituted or substituted with one or more R_2 groups;

m = an integer ranging from 0 to 4;

n = an integer ranging from 1 to 4;

p = 0 or 1;

q = an integer ranging from 0 to 3; and

r = an integer ranging from 1 to 6.

22. The compound or pharmaceutically acceptable salt of the compound of claim 21, wherein Ar_1 and Ar_2 are phenyl.

23. The compound or pharmaceutically acceptable salt of the compound of claim 21, wherein m = 1 and G = H.

24. The compound or pharmaceutically acceptable salt of the compound of claim 21, wherein R_1 is $-C(O)NH_2$, $-C(O)NH(C_1-C_4$ alkyl) or $-C(O)N(C_1-C_4$ alkyl)(C_1-C_4 alkyl).

25. The compound or pharmaceutically acceptable salt of the compound of claim 21, wherein R_1 is -CN.

26. The compound or pharmaceutically acceptable salt of the compound of claim 21, wherein p = 0 and q = 0.

27. The compound or pharmaceutically acceptable salt of the compound of claim 21, wherein G = $-(CH_2)_2NHSO_2H$.

28. The compound or pharmaceutically acceptable salt of the compound of claim 21, wherein G = $-CH_2C(O)NH_2$, $-CH_2C(O)NH(C_1-C_4$ alkyl) or $-CH_2C(O)N(C_1-C_4$ alkyl)(C_1-C_4 alkyl).

29. The compound or pharmaceutically acceptable salt of the compound of claim 21, wherein G = $-(CH_2)_2C(O)OCH_2CH_3$.

30. The compound or pharmaceutically acceptable salt of the compound of claim 21, wherein G = $-(CH_2)_4C(O)OCH_2CH_3$.

31. The compound or pharmaceutically acceptable salt of the compound of claim 21, wherein p = 1.

32. A composition comprising an effective amount of a compound or a pharmaceutically acceptable salt of the compound of claim 21 and a pharmaceutically acceptable carrier or excipient.

33. The composition of claim 32, further comprising an opioid analgesic.

34. The composition of claim 32, further comprising a non-opioid analgesic.

35. The composition of claim 32, further comprising an anti-emetic agent.

36. A method for treating pain in an animal, comprising administering to an animal in need thereof an effective amount of a compound or a pharmaceutically acceptable salt of the compound of claim 21.

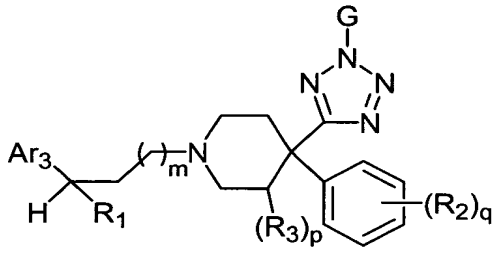
37. The method of claim 36, further comprising administering an effective amount of an opioid analgesic.

38. The method of claim 36, further comprising administering an effective amount of a non-opioid analgesic.

39. The method of claim 36, further comprising administering an effective amount of an anti-emetic agent.

40. A method for stimulating opioid-receptor function in a cell, comprising contacting a cell capable of expressing an opioid receptor with an effective amount of a compound or a pharmaceutically acceptable salt of the compound of claim 21.

41. A compound of formula (Ic):



(Ic)

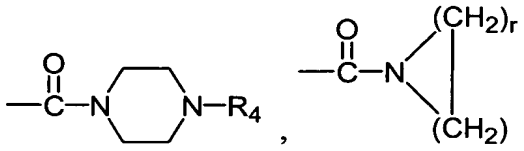
or a pharmaceutically acceptable salt thereof, wherein:

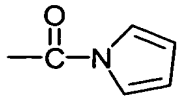
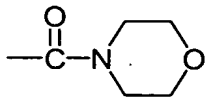
Ar_3 is phenyl, naphthyl, anthryl, phenanthryl, or -(5- to 7-membered) heteroaryl, each being unsubstituted or substituted with one or more R_2 groups;

G = H, $-L(CH_2)_nC(O)OR_4$, $-L(CH_2)_nR_5$, $-(C_1\text{-}C_5$ alkylene)$COOR_4$, or $-(C_1\text{-}C_5$ alkylene)R_5 ;

L = -C(O)- , $-SO_2-$, or -SO- ;

R_1 = H, $-C(O)NH_2$, $-C(O)NHOH$, $-CO_2R_4$, -CHO, -CN, $-(C_1\text{-}C_4$ alkyl), $-C(O)NH(C_1\text{-}C_4$ alkyl), $-C(O)N(C_1\text{-}C_4$ alkyl)$_2$,




or

;

R_2 and R_3 are each independently halogen, $-C_1\text{-}C_3$ alkyl, $-O(C_1\text{-}C_3$ alkyl), $-NH(C_1\text{-}C_3$ alkyl), or $-N(C_1\text{-}C_3$ alkyl)$_2$;

R_4 = -H, $-C_1\text{-}C_{10}$ alkyl, $-CH_2O(C_1\text{-}C_4$ alkyl), $-CH_2N(C_1\text{-}C_4$ alkyl)$_2$, or $-CH_2NH(C_1\text{-}C_4$ alkyl);

R_5 = $-NH_2$, $-NHSO_2R_4$, $-C(O)NH_2$, $-C(O)NHOH$, $-SO_2NH_2$, $-C(O)NH(C_1\text{-}C_4$ alkyl), $-C(O)N(C_1\text{-}C_4$ alkyl)$_2$, $-SO_2NH(C_1\text{-}C_4$ alkyl), $-SO_2N(C_1\text{-}C_4$ alkyl)$_2$, -H, -OH, -CN, $-C_3\text{-}C_8$ cycloalkyl, phenyl, naphthyl, anthryl, phenanthryl, or -(5- to 7-membered) heteroaryl, each being unsubstituted or substituted with one or more R_2 groups;

m = an integer ranging from 0 to 4;

n = an integer ranging from 1 to 4;

p = 0 or 1;

q = an integer ranging from 0 to 3; and

r = an integer ranging from 1 to 6.

42. The compound or pharmaceutically acceptable salt of the compound of claim 41, wherein Ar_3 is phenyl.

43. The compound or pharmaceutically acceptable salt of the compound of claim 41, wherein m = 1 and G = H.

44. The compound or pharmaceutically acceptable salt of the compound of claim 41, wherein R_1 is $-C(O)NH_2$, $-C(O)NH(C_1\text{-}C_4$ alkyl) or $-C(O)N(C_1\text{-}C_4$ alkyl)$(C_1\text{-}C_4$ alkyl).

45. The compound or pharmaceutically acceptable salt of the compound of claim 41, wherein R_1 is -CN.

46. The compound or pharmaceutically acceptable salt of the compound of claim 41, wherein p = 0 and q = 0.

47. The compound or pharmaceutically acceptable salt of the compound of claim 41, wherein G = $-(CH_2)_2NHSO_2H$.

48. The compound or pharmaceutically acceptable salt of the compound of claim 41, wherein G = $-CH_2C(O)NH_2$, $-CH_2C(O)NH(C_1-C_4$ alkyl) or $-CH_2C(O)N(C_1-C_4$ alkyl)(C_1-C_4 alkyl).

49. The compound or pharmaceutically acceptable salt of the compound of claim 41, wherein G = $-(CH_2)_2C(O)OCH_2CH_3$.

50. The compound or pharmaceutically acceptable salt of the compound of claim 41, wherein G = $-(CH_2)_4C(O)OCH_2CH_3$.

51. The compound or pharmaceutically acceptable salt of the compound of claim 41, wherein p = 1.

52. A composition comprising an effective amount of a compound or a pharmaceutically acceptable salt of the compound of claim 41 and a pharmaceutically acceptable carrier or excipient.

53. The composition of claim 52, further comprising an opioid analgesic.

54. The composition of claim 52, further comprising a non-opioid analgesic.

55. The composition of claim 52, further comprising an anti-emetic agent.

56. A method for treating pain in an animal, comprising administering to an animal in need thereof an effective amount of a compound or a pharmaceutically acceptable salt of the compound of claim 41.

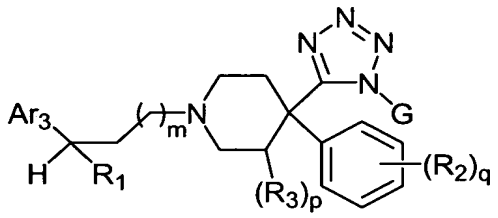
57. The method of claim 56, further comprising administering an effective amount of an opioid analgesic.

58. The method of claim 56, further comprising administering an effective amount of a non-opioid analgesic.

59. The method of claim 56, further comprising administering an effective amount of an anti-emetic agent.

60. A method for stimulating opioid-receptor function in a cell, comprising contacting a cell capable of expressing an opioid receptor with an effective amount of a compound or a pharmaceutically acceptable salt of the compound of claim 41.

61. A compound of formula (Id):



(Id)

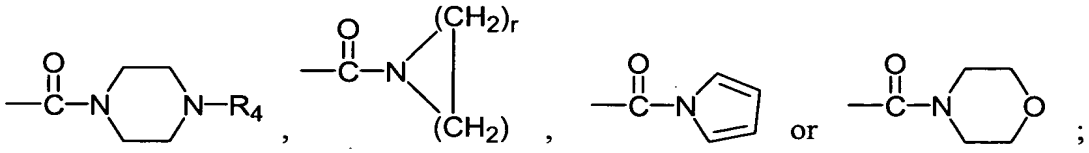
or a pharmaceutically acceptable salt thereof, wherein:

Ar_3 is phenyl, naphthyl, anthryl, phenanthryl, or -(5- to 7-membered) heteroaryl, each being substituted or unsubstituted with one or more R_2 groups;

G = -H, $-L(CH_2)_nC(O)OR_4$, $-L(CH_2)_nR_5$, $-(C_1\text{-}C_5$ alkylene)$COOR_4$, or $-(C_1\text{-}C_5$ alkylene)R_5 ;

L = -C(O)- , $-SO_2-$, or -SO- ;

R_1 = -H, $-C(O)NH_2$, -C(O)NHOH, $-CO_2R_4$, -CHO, -CN, $-(C_1\text{-}C_4$ alkyl), $-C(O)NH(C_1\text{-}C_4$ alkyl), $-C(O)N(C_1\text{-}C_4$ alkyl)$_2$,



R_2 and R_3 are each independently halogen, $-C_1\text{-}C_3$ alkyl, $-O(C_1\text{-}C_3$ alkyl), $-NH(C_1\text{-}C_3$ alkyl), or $-N(C_1\text{-}C_3$ alkyl)$_2$;

R_4 = -H, $-C_1\text{-}C_{10}$ alkyl, $-CH_2O(C_1\text{-}C_4$ alkyl), $-CH_2N(C_1\text{-}C_4$ alkyl)$_2$, or $-CH_2NH(C_1\text{-}C_4$ alkyl);

R_5 = $-NHSO_2R_4$, $-C(O)NH_2$, -C(O)NHOH, $-SO_2NH_2$, $-C(O)NH(C_1\text{-}C_4$ alkyl), $-C(O)N(C_1\text{-}C_4$ alkyl)$_2$, $-SO_2NH(C_1\text{-}C_4$ alkyl), $-SO_2N(C_1\text{-}C_4$ alkyl)$_2$, -H, -OH, -CN,

-C_3-C_8 cycloalkyl, phenyl, naphthyl, anthryl, phenanthryl, or -(5- to 7-membered) heteroaryl, each being unsubstituted or substituted with one or more R_2 groups;

m = an integer ranging from 0 to 4;

n = an integer ranging from 1 to 4;

p = 0 or 1;

q = an integer ranging from 0 to 3; and

r = an integer ranging from 1 to 6.

62. The compound or pharmaceutically acceptable salt of the compound of claim 61, wherein Ar_3 is phenyl.

63. The compound or pharmaceutically acceptable salt of the compound of claim 61, wherein m = 1 and G = H.

64. The compound or pharmaceutically acceptable salt of the compound of claim 61, wherein R_1 is -C(O)NH_2, -C(O)NH(C_1-C_4 alkyl) or -C(O)N(C_1-C_4 alkyl)(C_1-C_4 alkyl).

65. The compound or pharmaceutically acceptable salt of the compound of claim 61, wherein R_1 is -CN.

66. The compound or pharmaceutically acceptable salt of the compound of claim 61, wherein p = 0 and q = 0.

67. The compound or pharmaceutically acceptable salt of the compound of claim 61, wherein G = -$(CH_2)_2NHSO_2H$.

68. The compound or pharmaceutically acceptable salt of the compound of claim 61, wherein G = -CH_2C(O)NH_2, -CH_2C(O)NH(C_1-C_4 alkyl) or -CH_2C(O)N(C_1-C_4 alkyl)(C_1-C_4 alkyl).

69. The compound or pharmaceutically acceptable salt of the compound of claim 61, wherein G = -$(CH_2)_2$C(O)OCH_2CH_3.

70. The compound or pharmaceutically acceptable salt of the compound of claim 61, wherein G = -$(CH_2)_4$C(O)OCH_2CH_3.

71. The compound or pharmaceutically acceptable salt of the compound of claim 61, wherein p = 1.

72. A composition comprising an effective amount of a compound or a pharmaceutically acceptable salt of the compound of claim 61 and a pharmaceutically acceptable carrier or excipient.

73. The composition of claim 72, further comprising an opioid analgesic.

74. The composition of claim 72, further comprising a non-opioid analgesic.

75. The composition of claim 72, further comprising an anti-emetic agent.

76. A method for treating pain in an animal, comprising administering to an animal in need thereof an effective amount of a compound or a pharmaceutically acceptable salt of the compound of claim 61.

77. The method of claim 76, further comprising administering an effective amount of an opioid analgesic.

78. The method of claim 76, further comprising administering an effective amount of a non-opioid analgesic.

79. The method of claim 76, further comprising administering an effective amount of an anti-emetic agent.

80. A method for stimulating opioid-receptor function in a cell, comprising contacting a cell capable of expressing an opioid receptor with an effective amount of a compound or a pharmaceutically acceptable salt of the compound of claim 61.

81. The method of any one of claims 20, 40, 60, or 80, wherein the receptor is a κ-opioid receptor.

82. The method of any one of claims 20, 40, 60, or 80, wherein the receptor is a μ-opioid receptor.

83. The method of any one of claims 20, 40, 60, or 80, wherein the receptor is a δ-opioid receptor.

84. The method of any one of claims 20, 40, 60, or 80, wherein the receptor is an ORL-1 receptor.

85. A method for preparing a composition, the method comprising admixing a compound or a pharmaceutically acceptable salt of the compound of claim 1, 21, 41, or 61, and a pharmaceutically acceptable carrier or excipient.

86. A kit comprising a container containing the composition of claim 1.

87. A kit comprising a container containing the composition of claim 21.

88. A kit comprising a container containing the composition of claim 41.

89. A kit comprising a container containing the composition of claim 61.

90. The composition of claim 12, further comprising an anti-diarrheal agent.

91. The composition of claim 32, further comprising an anti-diarrheal agent.

92. The composition of claim 52, further comprising an anti-diarrheal agent.

93. The composition of claim 72, further comprising an anti-diarrheal agent.

94. A method for treating diarrhea in an animal, comprising administering to an animal in need thereof an effective amount of a compound or a pharmaceutically acceptable salt of the compound of claim 1.

95. The method of claim 94, further comprising administering an effective amount of an opioid analgesic.

96. The method of claim 94, further comprising administering an effective amount of a non-opioid analgesic.

97. The method of claim 94, further comprising administering an effective amount of an anti-emetic agent.

98. A method for treating diarrhea in an animal, comprising administering to an animal in need thereof an effective amount of a compound or a pharmaceutically acceptable salt of the compound of claim 21.

99. The method of claim 98, further comprising administering an effective amount of an opioid analgesic.

100. The method of claim 98, further comprising administering an effective amount of a non-opioid analgesic.

101. The method of claim 98, further comprising administering an effective amount of an anti-emetic agent.

102. A method for treating diarrhea in an animal, comprising administering to an animal in need thereof an effective amount of a compound or a pharmaceutically acceptable salt of the compound of claim 41.

103. The method of claim 102, further comprising administering an effective amount of an opioid analgesic.

104. The method of claim 102, further comprising administering an effective amount of a non-opioid analgesic.

105. The method of claim 102, further comprising administering an effective amount of an anti-emetic agent.

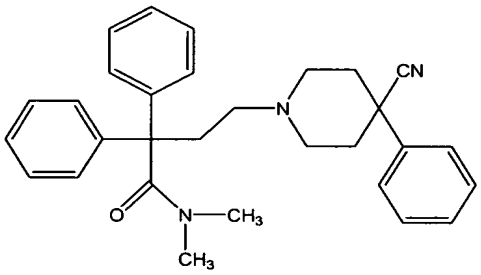
106. A method for treating diarrhea in an animal, comprising administering to an animal in need thereof an effective amount of a compound or a pharmaceutically acceptable salt of the compound of claim 61.

107. The method of claim 106, further comprising administering an effective amount of an opioid analgesic.

108. The method of claim 106, further comprising administering an effective amount of a non-opioid analgesic.

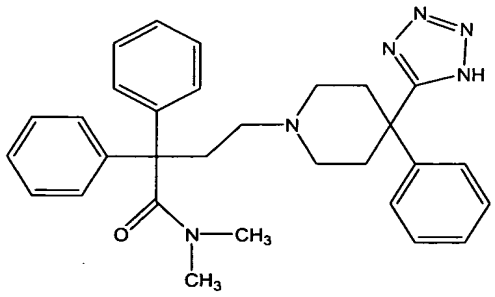
109. The method of claim 106, further comprising administering an effective amount of an anti-emetic agent.

110. A compound of formula



or a pharmaceutical salt thereof.

111. A compound of formula



or a pharmaceutical salt thereof.